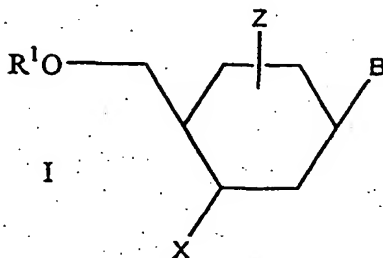


CLAIMS

1. A six membered, at least partially unsaturated, carbocyclic nucleoside compound, including the (-) enantiomer, the (+) enantiomer, and pharmaceutically acceptable salts and esters thereof, the compounds represented by the general formula I:



10

wherein:

- Z represents the presence of 1 or more double bonds in the six membered carbocyclic ring,
- B is a heterocyclic ring selected from the group consisting of pyrimidine and purine bases,
- X is a hydrogen, azido, F, or OR²,
- R¹ and R² are the same or different and represent the same or different protecting groups,

hydrogen, alkyl, alkenyl, acyl or phosphate moieties wherein;

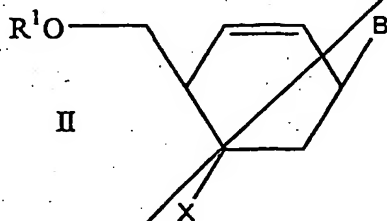
- the alkyl moiety is a saturated, substituted or unsubstituted straight or branched chains hydrocarbon radical having from 1 to 20, for example 1-16, 1-14,

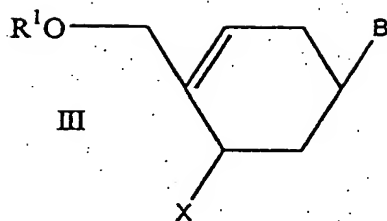
1-12, 1-10, 1-8, 1-4, carbon atoms,

- the alkenyl moiety is an unsaturated congener of the alkyl group and,

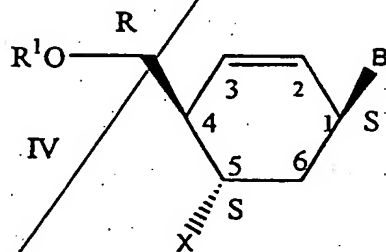
- the acyl moiety is an alkanoyl or aroyl moiety, wherein alkanoyl is an alkyl carbonyl radical, wherein alkyl is as described above and aroyl represents benzoyl substituted benzoyl or naphthoyl.

Sub 25 2. A six membered, at least partially unsaturated, carbocyclic nucleoside compound, according to claim 1, being a cyclhexenyl nucleoside compound having the general formula II or III, preferably II:





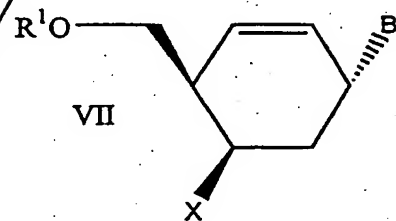
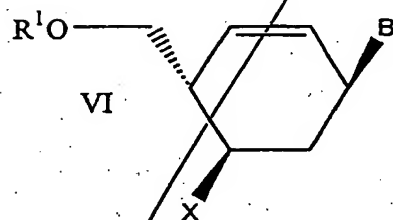
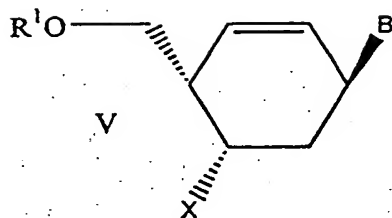
3. Compound according to claims 1 or 2,
selected from the following group of compounds
10 represented by the formulas IV-X':



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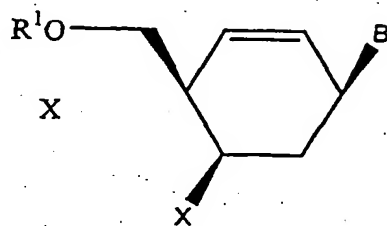
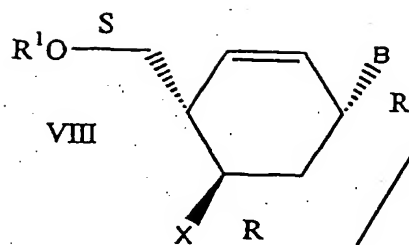
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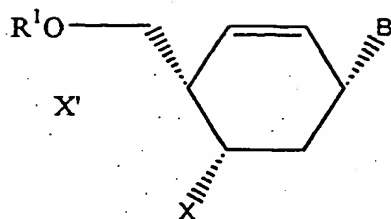
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4. Compound according to any of the preceding claims, wherein the C₁ bearing B substituent and the C₃ bearing X substituent both have the (S)-configuration, and the C₄ bearing -OR¹ substituent has the (R)-
10 configuration, as depicted by formula IV in claim 3.

5. Compound according to claims 1, 2 or 3, wherein the C₁ bearing B substituent and the C₃ bearing X substituent both have the (R)-configuration, and the C₄ bearing -OR¹ substituent has the (S)-configuration, as
15 depicted by formula VIII in claim 3.

6. Compound according to any of the claims 1-4, wherein X is represented by a hydroxyl group in the (S)-configuration.

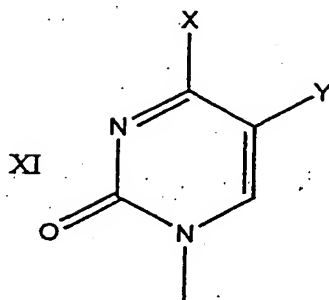
7. Compound according to any of the claims 1, 2, 3 and 5, wherein X is hydroxyl in the (R)-
configuration.

8. Compound according to any of the preceding claims wherein B is derived from the group consisting of pyrimidine bases.

25

9. Compound according to claim 7 wherein the

pyrimidine base has the general formula XI:



5

wherein X is chosen from the following;

- OH, NH₂, NHQ,

wherein;

10

- Q is selected from the following;

OH or C₁₋₅ alkyl,

-Y is selected from the following;

H, F, Cl, Br, I, C₁₋₅ alkyl, haloethyl or CH=CH-R, wherein R represents hydrogen, halogen or C₁₋₅ 15alkyl, and wherein haloethyl contains from 1 to 4 F, Cl or Br atoms.

10. Compound according to any of the preceding claims wherein B is selected from the group consisting of substituted and unsubstituted adenine, guanine, 2,6-20diaminopurine, hypoxanthine and xanthine.

11. Compound according to any of the preceding claims wherein the B is selected from the group of aza, deaza deoxy or deamino analogues of the heterocyclic

rings, as defined in any of the claims 8-10.

12. Compound according to any of the preceding claims wherein the protecting group comprises a silyl protecting group, preferably TBDMS, and/or a benzoyl protecting group and or a C₆H₅-CH= group.

13. Compound according to any of the preceding claims 1-11 selected from:

- 9-[(1S, 4R, 5S)-5-hydroxy-4-hydroxymethyl-2-cyclohexenyl] guanine
- 10- 9-[(1R, 4S, 5R)-5-hydroxy-4-hydroxymethyl-2-cyclohexenyl].

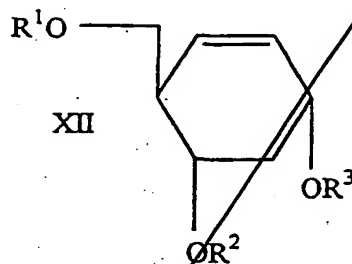
14. Compound according to any of the claims 1-11 selected from the following group:

- 9-[(1S, 4R, 5S)-5-(tert-Butyldimethylsilyloxy)-4-(tert-butyldimethylsilyloxymethyl)-2-cyclohexenyl]adenine
- 15
- 9-[(1S, 4R, 5S)-5-Hydroxy-4-hydroxymethyl-2-cyclohexenyl]adenine
- 9-[(1S, 4R, 5S)-5-(tert-butyldimethylsilyloxy)-4-(tert-butyldimethylsilyloxymethyl)-2-cyclohexenyl]-2-amino-6-chloropurine
- 20
- 9-[(1S, 4R, 5S)-5-hydroxy-4-hydroxymethyl-2-cyclohexenyl]guanine
- 9-[(1R, 4S, 5R)-5-Benzoyloxy-4-benzoyloxymethyl-2-cyclohexenyl]adenine
- 25
- 9-[(1R, 4S, 5R)-5-hydroxy-4-hydroxymethyl-2-cyclohexenyl]adenine
- 9-[(1R, 4S, 5R)-5-Benzoyloxy-4-benzoyloxymethyl-2-cyclohexenyl]guanine
- 30- 9-[(1R, 4S, 5R)-5-Hydroxy-4-hydroxymethyl-2-cyclohexenyl]guanine

15. Process for providing a compound, the (-) enantiomer, the (+) enantiomer, and pharmaceutically acceptable salts and esters thereof according to any of the preceding claims, said process comprising the steps of:

- providing cyclohexenyl compound of the general formula XII;

10



15


- wherein R¹ and R² are protecting groups and R³ is a leaving group or an Hydrogen atom, followed by the step of substituting the OR³ group by a pyrimidine or purine base.

20

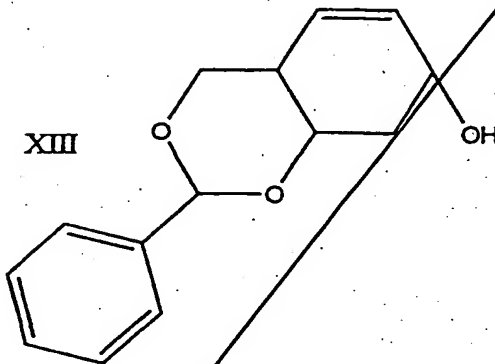
16. Process according to claim 15 wherein R³ is hydrogen and wherein a Mitsunobo type reaction is utilised.

17. Process according to claim 15 wherein R³

is a leaving group enabling nucleophilic substitution.

Sub
Ala  18. Process according to any of the preceding claims 15-17 wherein the compound of general formula XII has the chemical formula XIII;

5



or analogues thereof either in a racemate form or separated isomers thereof.

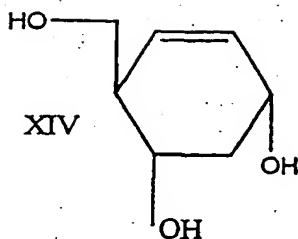
19. Process according to any of the preceding claims 15-18 wherein compound XIII is provided by reacting (\pm) 4-hydroxymethyl- cyclohex-2-en-1,5 Diol of formula XIV;

15

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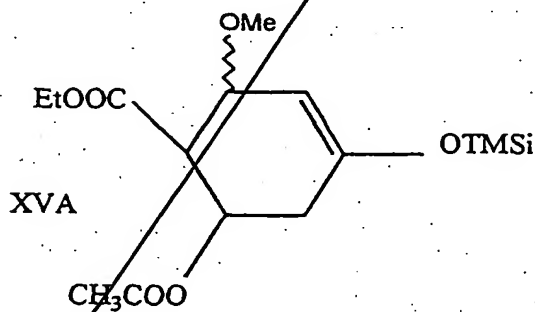
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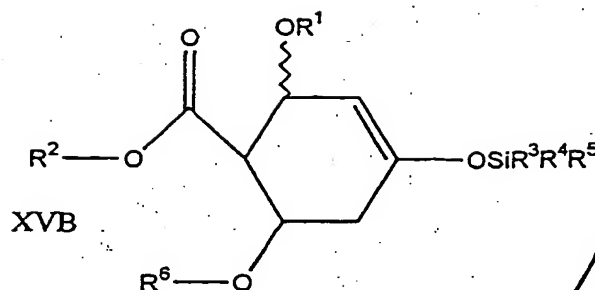


with a benzaldehyde analogue and a lewis acid, preferably being benzaldehyde dialkyl acetal, most preferably 5dimethyl acetal, and p-toluenesulfonic acid.

20. Process according to any of the preceding claims 15-19 wherein compound XIV is provided by the reduction of compound XVA or XVB, preferably utilising lithium aluminium hydride or an equivalent thereof as 10reducing agent;



15



5 wherein for XVB:

R¹ and R² are alkyl or alkenyl moieties,

wherein:

- R¹ and R² are the same or different, and

- alkyl is a saturated, substituted or
10 unsubstituted hydrocarbon radical having from 1 to 20 for
example 1-16, 1-14, 1-12, 1-10, 1-8, 1-4, carbon atoms
and being straight or branched chain, and

- alkenyl is the unsaturated congener of the
alkyl group, and

15 R³, R⁴ and R⁵ are alkyl, alkenyl or aryl
moieties, wherein:

- R³, R⁴ and R⁵ are the same or different, and

- alkyl is a saturated, substituted or
unsubstituted straight or branched chain hydrocarbon
20 radical having from 1 to 20 for example 1-16, 1-14, 1-12,
1-10, 1-8, 1-4, carbon atoms and

- alkenyl is the unsaturated congener of the
alkyl group, and

- aryl represents phenyl or substituted phenyl,
and

R^6 is a alkyl, alkenyl or acyl moiety, wherein:

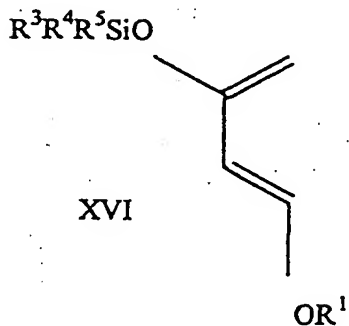
- alkyl is a saturated, substituted or
5 unsubstituted hydrocarbon straight or branched chain
radical having from 1 to 20 for example 1-16,
1-14, 1-12, 1-10, 1-8, 1-4, carbon atoms,

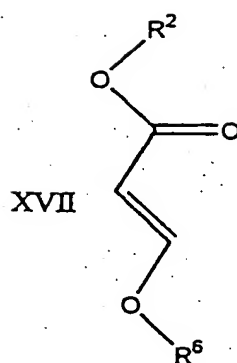
- alkenyl is the unsaturated congener of the
alkyl group, and

10 - acyl is an alkanoyl or aroyl moiety, wherein
alkanoyl is an alkyl carbonyl radical, wherein alkyl is
as described above and aroyl represents benzoyl,
substituted benzoyl or naphthoyl.

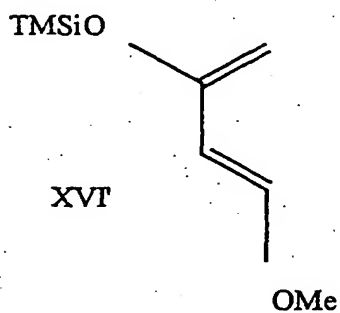
21. Process according to claim 20 wherein
15 compound XVA or XVB is provided by a diels-alder
reaction, by the cyclo addition of a suitable diene and
dienophile wherein preferably the diene and dienophile
are heated together in the presence of hydroquinone.

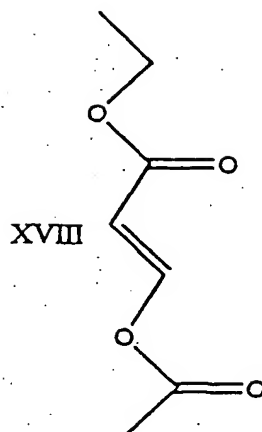
22. Process according to claim 21 wherein the
20 diene has the following chemical structure XVI, and the
dienophile has the following chemical structure XVII,
wherein R^1 , R^2 , R^3 , R^4 , R^5 and R^6 are as defined in claim
20;





- 5 23. Process according to claim 22 wherein the diene has the chemical structure XVI' and the dienophile has the chemical structure XVIII;

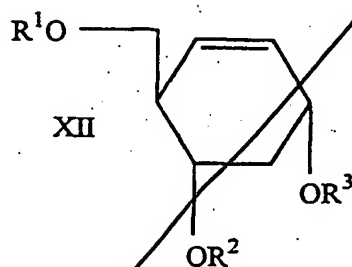




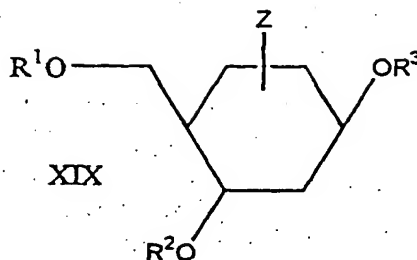
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Sub A7 24. A six membered, at least partially unsaturated, carbocyclic nucleoside compound including the (-) enantiomer, the (+) enantiomer, and pharmaceutically acceptable salts and esters thereof, the compounds represented by the general formula XII or XIX;

10



15



wherein:

5 - Z represents the presence of 1 or more double bonds in the carbocyclic ring,

 - R¹ and R² are protecting groups and R³ is a leaving group or an Hydrogen atom.

25. Compound according to claim 24 wherein;

10 R¹ and R² are the same or different and hydrogen, alkyl, alkenyl, acyl or phosphate moieties are represented, or R¹ and R² represent a cyclic protecting group; wherein:

 - alkyl is a saturated, substituted or 15 unsubstituted straight or branched chain hydrocarbon radical having from 1 to 20 for example 1-16, 1-14, 1-12, 1-10, 1-8, 1-4, carbon atoms, and

 - alkenyl is the unsaturated congener of the alkyl group, and

20 - acyl is an alkanoyl or aroyl moiety, wherein alkanoyl is an alkyl carbonyl radical, wherein alkyl is as described above and aroyl represents benzoyl, substituted benzoyl or naphtoyl; and

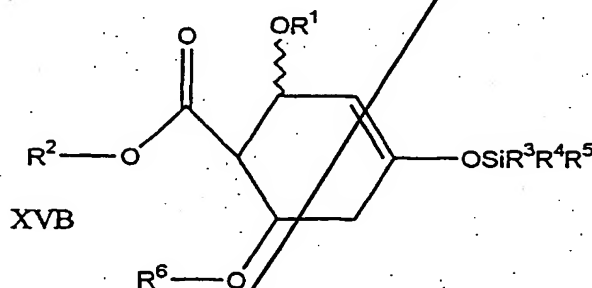
 R³ represents a hydrogen, an alkylsulfonyl or an 25 arylsulfonyl moiety, wherein:

- alkyl is a saturated, substituted or unsubstituted hydrocarbon radical having from 1 to 6 carbon atoms and straight or branched chain, and

- aryl represents phenyl or substituted phenyl,
5 and

26. A cyclohexenyl compound, including the (-) enantiomer, the (+) enantiomer, and pharmaceutically acceptable salts and esters thereof, the compound represented by the general formula XVB;

10



15 wherein R¹ and R² are alkyl or alkenyl moieties,
wherein:

- R¹ and R² are the same or different, and
- alkyl is a saturated, substituted or unsubstituted straight or branched chain hydrocarbon radical having from 1 to 20 for example 1-16, 1-14, 1-12, 20 1-10, 1-8, 1-4 carbon atoms,

- alkenyl is the unsaturated congener of the alkyl group, and

R³, R⁴ and R⁵ are alkyl, alkenyl or aryl

moieties, wherein:

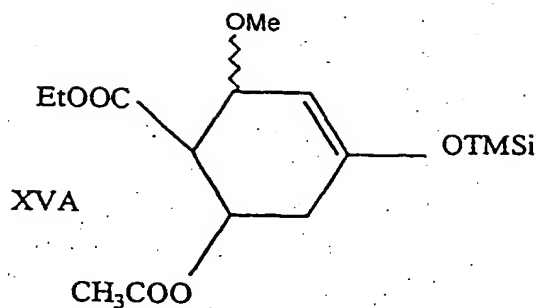
- R^3 , R^4 and R^5 are the same or different, and
- alkyl is a saturated, substituted or unsubstituted straight or branched chain hydrocarbon radical having from 1 to 20 for example 1-16, 1-14, 1-12, 1-10, 1-8, 1-4 carbon atoms and,
- alkenyl is the unsaturated congener of the alkyl group, and
- aryl represents phenyl or substituted phenyl,

10and

R^6 is a alkyl, alkenyl or acyl moiety, wherein:

- alkyl is a saturated, substituted or unsubstituted straight or branched chain hydrocarbon radical having from 1 to 20 for example 1-16, 1-14, 1-12, 1-10, 1-8, 1-4 carbon atoms, and
- alkenyl is the unsaturated congener of the alkyl group, and
- acyl is an alkanoyl or aroyl moiety, wherein alkanoyl is an alkyl carbonyl radical, wherein alkyl is as described above and aroyl represents benzoyl, substituted benzoyl or naphtoyl.

27. Compound according to claim 26 having the formula XVA being; 5-O-acetyl-4-ethoxycarbonyl-3-O-methyl-1-O-trimethylsilyl-cyclohexen-1,3,5-triol and its 25 isomers;



- 5 28. (±) 4-hydroxymethyl-cyclohex-2-en-1,5-diol.
29. (1R, 4R, 5S)-4-hydroxymethyl-cyclohex-2-en-1,5-diol.
30. (1S, 4S, 5R)-4-hydroxymethyl-cyclohex-2-en-1,5-diol.
- 10 31. (±) 5,7-O-benzylidene-4-hydroxymethyl-cyclohex-2-en-1,5-diol.
32. (1R, 4R, 5S)-5,7-O-benzylidene-4-hydroxymethyl-cyclohex-2-en-1,5-diol.
33. (1S, 4S, 5R)-5,7-O-benzylidene-4-15hydroxymethyl-cyclohex-2-en-1,5-diol.
34. Compound according to any of the preceding claims 24-26 selected from the following group:
- (4S, 5R)-5-Benzoyloxy-4-benzoyloxymethyl-cyclohex-2-en-1-one,
 - 20- (1S, 4S, 5R)-5-Benzoyloxy-4-benzoyloxymethyl-cyclohex-2-en-1-ol,
 - (4R, 5S)-4-tert-Butyldimethylsilyloxymethyl-5-tert-butyltrimethylsilyloxy-cyclohex-2-en-1-one,

Sub
as

- (1R,4R,5S)-5-(tert-Butyldimethylsilyloxy)-4-(tert-butyldimethylsilyloxymethyl)-cyclohex-2-en-1-ol.

35. Compound according to any of the claims 1-14, 24-34 obtainable according to the process according to any of the claims 15-23.

36. Pharmaceutical composition comprising a compound according to any of the claims 1-14, 24-34.

37. A pharmaceutical composition as claimed in any of the claims 1-14, 24-34, having antiviral activity towards herpetic viruses selected but not limited from the group consisting of herpes simplex virus type 1 (HSV-1), herpes simplex virus type 2 (HSV-2), Varicella zoster virus (VZV), and cytomegalovirus (CMV), as well as towards pox viruses, e.g. vaccinia virus (VV).

15 38. A pharmaceutical composition as claimed in claim 37 comprising said active ingredient in a concentration ranging from about 0.1-100 % by weight.

Sub 29 39. A pharmaceutical composition as claimed in claim 38, having the form which is selected from the 20 group consisting of powders, suspensions, solutions, sprays, emulsions, unguents and creams.

40. The use of a compound according to any of the claims 1-14, 24-34 as a pharmaceutical preferably anti-viral agent.

Sub 25
a10 41. The use of a compound according to any of the claims 1-14, 24-34 as an agent having biological activity.

42. The use of a compound according to any of the claims 1-14, 24-34 as an agent having antiviral 30 activity towards herpes viruses, pox viruses and related viruses.

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sub 43. The use of a compound according to any of
the claims 1-14, 24-34 for the preparation of a
pharmaceutical composition having antiviral activity
towards herpes viruses, pox viruses and related viruses.

add
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